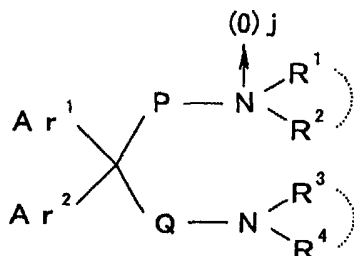


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WHAT IS CLAIMED IS

1. A melanin-concentrating hormone antagonist containing a compound of the formula



5 wherein

Ar¹ and Ar² are each an aromatic group optionally having substituents,

P and Q are each a divalent aliphatic hydrocarbon group which optionally contains ether oxygen or ether sulfur in a carbon chain and which optionally has substituents,

R¹ and R³ are each (i) a hydrogen atom, (ii) an acyl group or (iii) a hydrocarbon group optionally having substituents,

15 R² and R⁴ are each (i) a hydrogen atom, (ii) an alkyl group optionally having substituents or (iii) an alkylcarbonyl group optionally having substituents,

20 R¹ and R² or R³ and R⁴ optionally form, together with the adjacent nitrogen atom, a monocyclic or fused nitrogen-containing heterocyclic group optionally having substituents, and

j is 0 or 1,

25 or a salt thereof or a prodrug thereof.

2. The antagonist of claim 1, wherein Ar¹ and Ar² are each (i) a C₆₋₁₄ aryl group or (ii) a 5 to 14-membered monocyclic or

I Ar¹, Ar², R¹ and R² or R³ or R⁴
for a piperazine ring
II forms a piperazine ring
III does not form a ring
IV anything not included
except a species

- fused aromatic heterocyclic group containing, besides carbon atom, 1 to 4 heteroatom(s) selected from the group consisting of nitrogen atom, sulfur atom and oxygen atom, which optionally has 1 to 5 substituent(s) selected from the group (group Aa)
- 5 consisting of
 - (a) a halogen atom,
 - (b) a C₁₋₃ alkylenedioxy group,
 - (c) a nitro group,
 - (d) a cyano group,
 - 10 (e) an optionally halogenated C₁₋₆ alkyl group,
 - (f) an optionally halogenated C₃₋₆ cycloalkyl group,
 - (g) an optionally halogenated C₁₋₆ alkoxy group,
 - (h) an optionally halogenated C₁₋₆ alkylthio group,
 - (i) a hydroxy group,
 - 15 (j) an amino group,
 - (k) a mono-C₁₋₆ alkylamino group,
 - (l) a di-C₁₋₆ alkylamino group,
 - (m) an optionally halogenated C₁₋₆ alkyl-carbonylamino group,
 - (n) a formyl group,
 - 20 (o) a C₁₋₆ alkyl-carbonyl group optionally substituted by halogen atom or C₁₋₆ alkoxy-carbonyl group,
 - (p) a C₁₋₆ alkyl-carbonyloxy group,
 - (q) a carboxyl group,
 - (r) a C₁₋₆ alkoxy-carbonyl group,
 - 25 (s) a carbamoyl group,
 - (t) a mono-C₁₋₆ alkyl-carbamoyl group optionally substituted by C₁₋₆ alkoxy-carbonyl group,
 - (u) a di-C₁₋₆ alkyl-carbamoyl group optionally substituted by C₁₋₆ alkoxy-carbonyl group,
 - 30 (v) a sulfo group,
 - (w) a C₁₋₆ alkylsulfonyl group,
 - (x) a C₁₋₆ alkylsulfinyl group,
 - (y) a C₆₋₁₀ aryl group optionally having 1 to 4 substituent(s)

- selected from above-mentioned (a) to (x),
- (z) a C₆₋₁₀ aryloxy group optionally having 1 to 4 substituent(s) selected from the above-mentioned (a) to (x),
- (aa) an optionally halogenated C₆₋₁₀ aryl-carbonyl group,
- 5 (ab) an optionally halogenated 5 or 6-membered heterocyclic ring-carbonyl group,
- (ac) a C₁₋₆ alkoxy-carbonylamino group,
- (ad) a C₆₋₁₀ aryl-carbonylamino group and
- (ae) a C₇₋₁₆ aralkyloxy-carbonyl group,
- 10 P and Q are each a divalent C₁₋₆ aliphatic hydrocarbon group which optionally contains ether oxygen or ether sulfur in a carbon chain and which is optionally substituted by oxo group or thioxo group;
- R¹ and R³ are each (i) hydrogen atom, (ii) acyl group
- 15 represented by -CO-R^a, -CONR^aR^b, -SO-R^a, -SO₂-R^a, -CONR^aR^b, -COO-R^a, -(C=S)O-R^a, -(C=S)NR^aR^b, -SONR^aR^b, -SO₂NR^aR^b, -SO-O-R^a or -SO₂-O-R^a, wherein R^a is (A) hydrogen atom; (B) carboxyl group; (C) (1) C₁₋₆ alkyl group, (2) C₂₋₆ alkenyl group, (3) C₂₋₆ alkynyl group, (4) C₃₋₆ cycloalkyl group, (5) C₆₋₁₄ aryl group or (6) C₇₋
- 20 16 aralkyl group, which optionally has 1 to 5 substituent(s) selected from the group (group Ba) consisting of
- (a) a halogen atom,
- (b) a C₁₋₃ alkylenedioxy group,
- (c) a nitro group,
- 25 (d) a cyano group,
- (e) an optionally halogenated C₁₋₆ alkyl group,
- (f) an optionally halogenated C₃₋₆ cycloalkyl group,
- (g) an optionally halogenated C₁₋₆ alkoxy group,
- (h) an optionally halogenated C₁₋₆ alkylthio group,
- 30 (i) a hydroxy group,
- (j) an amino group,
- (k) a mono-C₁₋₆ alkylamino group,
- (l) a di-C₁₋₆ alkylamino group,

- (m) a C₁₋₆ alkyl-carbonylamino group,
- (n) a formyl group,
- (o) a C₁₋₆ alkyl-carbonyl group,
- (p) a C₁₋₆ alkyl-carbonyloxy group,
- 5 (q) a carboxyl group,
- (r) a C₁₋₆ alkoxy-carbonyl group,
- (s) a carbamoyl group,
- (t) a mono-C₁₋₆ alkyl-carbamoyl group,
- (u) a di-C₁₋₆ alkyl-carbamoyl group,
- 10 (v) a sulfo group,
- (w) a C₁₋₆ alkylsulfonyl group,
- (x) a C₁₋₆ alkylsulfinyl group,
- (y) a C₆₋₁₀ aryl group optionally having 1 to 4 substituent(s) selected from the aforementioned (a) to (x),
- 15 (z) a C₆₋₁₀ aryloxy group optionally having 1 to 4 substituent(s) selected from the aforementioned (a) to (x),
- (zz) a 5 to 7-membered heterocyclic group optionally having 1 to 4 substituent(s) selected from the aforementioned (a) to (x),
- (aa) a di-C₁₋₆ alkyl-carbonylamino group,
- 20 (ab) a sulfamoyl group,
- (ac) a C₁₋₆ alkoxy-carbonylamino group,
- (ad) a C₇₋₁₆ aralkyloxy-carbonylamino group,
- (ae) a C₇₋₁₆ aralkyloxy group,
- (af) a C₆₋₁₀ aryl-carbonyl group,
- 25 (ag) a C₁₋₆ alkyl-carbonyloxy group,
- (ah) a C₆₋₁₀ aryl-carbonylamino group,
- (ai) a C₆₋₁₀ aryl-carbamoyl group,
- (aj) a C₇₋₁₆ aralkylaminocarbonyl group,
- (ak) a C₇₋₁₆ aralkylcarbonylamino group and
- 30 (al) a C₇₋₁₆ aralkyloxy-carbonyloxy group;
- (D) a 5 to 10-membered heterocyclic group containing, besides carbon atom, 1 to 4 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom, which

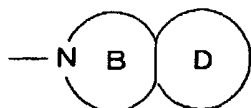
- optionally has 1 to 5 substituent(s) selected from the group consisting of (a) substituent selected from group Aa,
- (b) (1) C₁₋₆ alkyl group, (2) C₂₋₆ alkenyl group, (3) C₂₋₆ alkynyl group, (4) C₃₋₆ cycloalkyl group, (5) C₆₋₁₄ aryl group or (6) C₇₋₁₆ aralkyl group, which optionally has 1 to 5 substituent(s) selected from group Ba,
- (c) oxo group and
- (d) thioxo group; or
- (E) a C₁₋₆ alkoxy-carbonyl group;
- ¹⁰ R^b is a hydrogen atom or a C₁₋₆ alkyl group, or
- (iii) (1) C₁₋₆ alkyl group, (2) C₂₋₆ alkenyl group, (3) C₂₋₆ alkynyl group, (4) C₃₋₆ cycloalkyl group, (5) C₆₋₁₄ aryl group or (6) C₇₋₁₆ aralkyl group optionally having 1 to 5 substituent(s) selected from group Ba;
- ¹⁵ R² and R⁴ are each (i) a hydrogen atom, (ii) C₁₋₆ alkyl group optionally having substituents selected from group Ba or (iii) C₁₋₆ alkyl-carbonyl group optionally having substituents selected from group Ba;
- R¹ and R² or R³ and R⁴ may form, together with the adjacent
- ²⁰ nitrogen atom, a group of
- (i) the formula



- wherein ring A is a 4 to 8-membered ring optionally substituted by hydroxy or oxo, V is a group represented by the formula >O,
- ²⁵ >C=O, >C(W)-W^a or >N-W (W is (a) hydrogen atom, (b) (1) C₁₋₆ alkyl group, (2) C₂₋₆ alkenyl group, (3) C₂₋₆ alkynyl group, (4) C₃₋₆ cycloalkyl group, (5) C₆₋₁₄ aryl group or (6) C₇₋₁₆ aralkyl group, which optionally has 1 to 5 substituent(s) selected from group Ba, or (c) 5 to 10-membered heterocyclic group containing,
- ³⁰ besides carbon atom, 1 to 4 heteroatom(s) selected from nitrogen, oxygen and sulfur, which optionally has 1 to 5

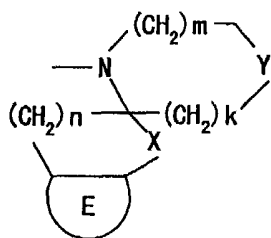
substituent(s) selected from group Aa, W^a is hydrogen atom, hydroxy group or C_{1-6} alkyl group),

(ii) the formula



5 wherein ring B is monocyclic or bicyclic 4 to 12-membered ring optionally substituted by 1 or 2 oxo group(s) or 1 to 5 C_{1-6} alkyl group(s), ring D is a 4 to 12-membered aromatic ring optionally having 1 to 5 substituent(s) selected from group Aa or

10 (iii) the formula



wherein ring E is a 4 to 12-membered aromatic ring optionally having 1 to 5 substituent(s) selected from group Aa;

X is $-CH_2-$, $-CO-$ or $-CH(OH)-$;

15 Y is $-CH_2-$, $-O-$ or $-NW^b-$ (W^b is (a) hydrogen atom or (b) C_{1-6} alkyl group optionally having substituents selected from group Ba);

k and m are each an integer of 0 to 4, and $k+m$ is an integer of 1 to 4;

20 n is an integer of 1 to 3.

3. The antagonist of claim 1, wherein Ar^1 and Ar^2 are each (i) a C_{6-14} aryl group or (ii) a 5 to 14-membered monocyclic or fused aromatic heterocyclic group containing, besides carbon
25 atom, 1 to 4 heteroatom(s) selected from the group consisting of nitrogen atom, sulfur atom and oxygen atom, which optionally has 1 to 5 substituent(s) selected from the group (group A)

consisting of

- (a) a halogen atom,
 - (b) a C₁₋₃ alkylenedioxy group,
 - (c) a nitro group,
 - 5 (d) a cyano group,
 - (e) an optionally halogenated C₁₋₆ alkyl group,
 - (f) an optionally halogenated C₃₋₆ cycloalkyl group,
 - (g) an optionally halogenated C₁₋₆ alkoxy group,
 - (h) an optionally halogenated C₁₋₆ alkylthio group,
 - 10 (i) a hydroxy group,
 - (j) an amino group,
 - (k) a mono-C₁₋₆ alkylamino group,
 - (l) a di-C₁₋₆ alkylamino group,
 - 15 (m) a C₁₋₆ alkyl-carbonylamino group,
 - (n) a formyl group,
 - (o) a C₁₋₆ alkyl-carbonyl group,
 - (p) a C₁₋₆ alkyl-carbonyloxy group,
 - (q) a carboxyl group,
 - 20 (r) a C₁₋₆ alkoxy-carbonyl group,
 - (s) a carbamoyl group,
 - (t) a mono-C₁₋₆ alkylcarbamoyl group,
 - (u) a di-C₁₋₆ alkylcarbamoyl group,
 - (v) a sulfo group,
 - 25 (w) a C₁₋₆ alkylsulfonyl group,
 - (x) a C₁₋₆ alkylsulfinyl group,
 - (y) a C₆₋₁₀ aryl group optionally having 1 to 4 substituent(s)
 - (z) a C₆₋₁₀ aryloxy group optionally having 1 to 4
- substituent(s) selected from the above-mentioned (a) to (x),
- 30 p and q are each a C₁₋₆ aliphatic hydrocarbon group which optionally contains ether oxygen or ether sulfur in a carbon chain and which is optionally substituted by oxo group or thio group,

- R^1 and R^3 are each (i) hydrogen atom, (ii) an acyl group represented by $-\text{CO}-R^a$, $-\text{CONR}^aR^b$, $-\text{SO}-R^a$, $-\text{SO}_2-R^a$, $-\text{CONR}^aR^b$, $-\text{COO}-R^a$, $-(\text{C}=\text{S})\text{O}-R^a$ or $-(\text{C}=\text{S})\text{NR}^aR^b$ wherein R^a is (a) hydrogen atom, (b) carboxyl group,
- 5 (c) a (1) C_{1-6} alkyl group, (2) C_{2-6} alkenyl group, (3) C_{2-6} alkynyl group, (4) C_{3-6} cycloalkyl group, (5) C_{6-14} aryl group or (6) C_{7-16} aralkyl group, which optionally has 1 to 5 substituent(s) selected from the group (group B) consisting of
- (a) a halogen atom,
- 10 (b) a C_{1-3} alkylenedioxy group,
- (c) a nitro group,
- (d) a cyano group,
- (e) an optionally halogenated C_{1-6} alkyl group,
- (f) an optionally halogenated C_{3-6} cycloalkyl group,
- 15 (g) an optionally halogenated C_{1-6} alkoxy group,
- (h) an optionally halogenated C_{1-6} alkylthio group,
- (i) a hydroxy group,
- (j) an amino group,
- (k) a mono- C_{1-6} alkylamino group,
- 20 (l) a di- C_{1-6} alkylamino group,
- (m) a C_{1-6} alkyl-carbonylamino group,
- (n) a formyl group,
- (o) a C_{1-6} alkyl-carbonyl group,
- (p) a C_{1-6} alkyl-carboxyloxy group,
- 25 (q) a carboxyl group,
- (r) a C_{1-6} alkoxy-carbonyl group,
- (s) a carbamoyl group,
- (t) a mono- C_{1-6} alkylcarbamoyl group,
- (u) a di- C_{1-6} alkylcarbamoyl group,
- 30 (v) a sulfo group,
- (w) a C_{1-6} alkylsulfonyl group,
- (x) a C_{1-6} alkylsulfinyl group,
- (y) a C_{6-10} aryl group optionally having 1 to 4 substituent(s)

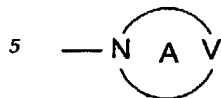
- selected from the aforementioned (a) to (x),
- (z) a C₆₋₁₀ aryloxy group optionally having 1 to 4
substituent(s) selected from the aforementioned (a) to (x) and
- (zz) a 5 to 7-membered heterocyclic group optionally having 1
5 to 4 substituent(s) selected from the aforementioned (a) to (x),
or
- (d) a 5 to 10-membered heterocyclic group containing, besides
carbon atom, 1 to 4 heteroatom(s) selected from the group
consisting of nitrogen atom, oxygen atom and sulfur atom, which
10 optionally has 1 to 5 substituent(s) selected from the group
(group C) consisting of
- (a) a halogen atom,
- (b) a C₁₋₃ alkylenedioxy group,
- (c) a nitro group,
- 15 (d) a cyano group,
- (e) a C₁₋₆ alkyl group optionally having substituents selected
from the group consisting of (aa) a halogen atom, (bb) C₁₋₃
alkylenedioxy group, (cc) nitro group, (dd) cyano group, (ee)
an optionally halogenated C₁₋₆ alkyl group, (ff) an optionally
20 halogenated C₃₋₆ cycloalkyl group, (gg) an optionally
halogenated C₁₋₆ alkoxy group, (hh) an optionally halogenated
C₁₋₆ alkylthio group, (ii) a hydroxy group, (jj) amino group,
(kk) a mono-C₁₋₆ alkylamino group, (ll) a di-C₁₋₆ alkylamino
group, (mm) C₁₋₆ alkyl-carbonylamino group, (nn) a formyl group,
25 (oo) C₁₋₆ alkyl-carbonyl group, (pp) C₁₋₆ alkyl-carbonyloxy group,
(qq) carboxyl group, (rr) C₁₋₆ alkoxy-carbonyl group, (ss)
carbamoyl group, (tt) a mono-C₁₋₆ alkylcarbamoyl group, (uu) a
di-C₁₋₆ alkylcarbamoyl group, (vv) a sulfo group, (ww) C₁₋₆
alkylsulfonyl group, (xx) C₁₋₆ alkylsulfinyl group, (yy) C₆₋₁₀
30 aryl group optionally having 1 to 4 substituent(s) selected
from the aforementioned (aa) to (xx), (zz) C₆₋₁₀ aryloxy group
optionally having 1 to 4 substituent(s) selected from the
aforementioned (aa) to (xx) and (zzz) 5 to 7-membered

- heterocyclic group optionally having 1 to 4 substituent(s)
selected from the aforementioned (aa) to (xx),
- (f) an optionally halogenated C₃₋₆ cycloalkyl group,
 - (g) an optionally halogenated C₁₋₆ alkoxy group,
 - 5 (h) an optionally halogenated C₁₋₆ alkylthio group,
 - (i) a hydroxy group,
 - (j) an amino group,
 - (k) a mono-C₁₋₆ alkylamino group,
 - (l) a di-C₁₋₆ alkylamino group,
 - 10 (m) an optionally halogenated C₁₋₆ alkyl-carbonylamino group,
 - (n) a formyl group,
 - (o) a C₁₋₆ alkyl-carbonyl group,
 - (p) a C₁₋₆ alkyl-carbonyloxy group,
 - (q) a carboxyl group,
 - 15 (r) a C₁₋₆ alkoxy-carbonyl group,
 - (s) a carbamoyl group,
 - (t) a mono-C₁₋₆ alkylcarbamoyl group,
 - (u) a di-C₁₋₆ alkylcarbamoyl group,
 - (v) a sulfo group,
 - 20 (w) a C₁₋₆ alkylsulfonyl group,
 - (x) a C₁₋₆ alkylsulfinyl group,
 - (y) a C₆₋₁₀ aryl group optionally having 1 to 4 substituent(s)
selected from the aforementioned (a) to (x) and
 - (z) a C₆₋₁₀ aryloxy group optionally having 1 to 4
 - 25 substituent(s) selected from the aforementioned (a) to (x), and
R^b is a hydrogen atom or a C₁₋₆ alkyl group) or
 - (iii) (1) C₁₋₆ alkyl group, (2) C₂₋₆ alkenyl group, (3) C₂₋₆
alkynyl group, (4) C₃₋₆ cycloalkyl group, (5) C₆₋₁₄ aryl group or
 - (6) C₇₋₁₆ aralkyl group, which optionally has 1 to 5
 - 30 substituent(s) selected from group B,
- R² and R⁴ are each (i) hydrogen atom, (ii) C₁₋₆ alkyl optionally
having substituents selected from group B or (iii) C₁₋₆ alkyl-
carbonyl group optionally having substituents selected from

group B,

R¹ and R² or R³ and R⁴ form, together with the adjacent nitrogen atom, a nitrogen-containing heterocyclic group represented by

(i) the formula



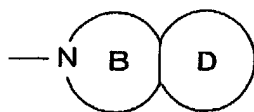
wherein ring A is a 4 to 8-membered ring optionally substituted by hydroxy or oxo, V is a group represented by the formula >O,

>C=O, >C-(W)W^a or >N-W (W is (a) hydrogen atom, (b) (1) C₁₋₆ alkyl group, (2) C₂₋₆ alkenyl group, (3) C₂₋₆ alkynyl group, (4)

10 C₃₋₆ cycloalkyl group, (5) C₆₋₁₄ aryl group or (6) C₇₋₁₆ aralkyl group, which optionally has 1 to 5 substituent(s) selected from group B, or (c) 5 to 10-membered heterocyclic group containing, besides carbon atom, 1 to 4 heteroatom(s) selected from nitrogen, oxygen and sulfur, which optionally has 1 to 5

15 substituent(s) selected from group A, W^a is hydrogen atom or hydroxy group),

(ii) the formula

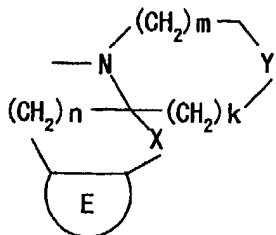


wherein ring B is monocyclic or bicyclic 4 to 12-membered ring

20 optionally substituted by oxo group or 1 to 5 C₁₋₆ alkyl group(s), ring D is a 4 to 12-membered aromatic ring optionally

having 1 to 5 substituent(s) selected from group A or

(iii) the formula



25 wherein ring E is a 5 to 10-membered aromatic ring optionally

having 1 to 5 substituent(s) selected from group A

X is $-\text{CH}_2-$, $-\text{CO}-$ or $-\text{CH}(\text{OH})-$,

Y is $-\text{CH}_2-$, $-\text{O}-$ or $-\text{NW}^b-$ (W^b is (a) hydrogen atom or (b) C_{1-6} alkyl group optionally having substituents selected from group

5 B);

$k+m$ is an integer of 1 to 4; and

n is an integer of 1 to 3.

4. The antagonist of claim 1, wherein Ar^1 and Ar^2 are each (i)
10 a phenyl group optionally substituted by halogen atom or C_{1-6} alkoxy group or (ii) a 5 or 6-membered heterocyclic group containing, besides carbon atom, 1 to 3 heteroatom(s) selected from nitrogen atom, oxygen atom and sulfur atom.

15 5. The antagonist of claim 1, wherein P and Q are each a C_{1-6} alkylene group.

6. The antagonist of claim 1, wherein j is 0.

20 7. The antagonist of claim 1, wherein

R^1 is (i) C_{1-6} alkyl group optionally having a 5 or 6-membered nitrogen-containing heterocyclic group, (ii) C_{7-16} aralkyl group optionally having nitro, amino or C_{1-6} alkoxy-carbonyl or (iii) cyclohexyl group fused with benzene ring optionally having C_{1-6}

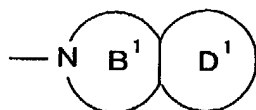
25 alkoxy;

R^2 is (i) hydrogen atom, (ii) C_{1-6} alkyl group or (iii) C_{7-16} aralkyl group; or R^1 and R^2 form, together with the adjacent nitrogen atom, a nitrogen-containing heterocyclic group represented by

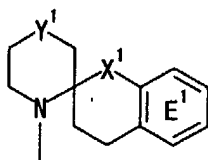
30 (i) the formula



- wherein ring A¹ is a 4 to 8-membered ring optionally substituted by hydroxy or oxo, V¹ is a group represented by the formula >O, >C(W¹)-W^{a1} or >N-W¹ (W¹ is (a) hydrogen atom, (b) C₆₋₁₄ aryl group optionally having 1 or 2 substituent(s) selected from the group consisting of a halogen atom, optionally halogenated C₁₋₆ alkyl group and optionally halogenated C₁₋₆ alkoxy group, (c) C₁₋₆ alkyl group optionally having 1 or 2 C₆₋₁₀ aryl group(s) or (d) pyridyl group, W^{a1} is hydrogen atom, hydroxy group or C₁₋₆ alkyl group),
- (ii) the formula

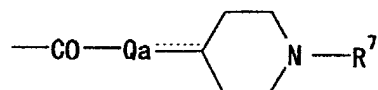


- wherein ring B¹ is a monocyclic or bicyclic 5 to 10-membered ring optionally substituted by oxo group or 1 or 2 C₁₋₆ alkyl group(s), ring D¹ is a benzene ring optionally having 1 or 2 substituent(s) selected from the group consisting of C₁₋₆ alkyl group, C₁₋₆ alkoxy group and C₁₋₆ alkyl-carbonyl group or
- (iii) the formula

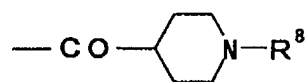


- wherein ring E¹ is a benzene ring optionally having 1 to 3 substituent(s) selected from the group consisting of C₁₋₃ alkylenedioxy group, nitro group, C₁₋₆ alkoxy group, amino group, C₁₋₆ alkyl-carbonylamino group and C₁₋₆ alkoxy-carbonyl group, X¹ is -CH₂- or -CO-, and Y¹ is -CH₂- or -O-,
- R³ is (i) hydrogen atom,
- (ii) a group represented by the formula -CO-R⁵ (R⁵ is (a) hydrogen atom, (b) carboxyl group, (c) C₁₋₆ alkyl group, (d) C₅₋₆ cycloalkyl group optionally having C₁₋₆ alkoxy, and which is

fused with benzene ring or (e) 5 or 6-membered aromatic heterocyclic group containing, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom, which optionally has 1 or 2 substituent(s) selected from the group consisting of a halogen atom, C₆₋₁₀ aryl group, C₆₋₁₀ aryl-carbonylamino group),
 (iii) a group represented by the formula -CO-Alk₀-R⁶ [Alk₀ is C₁₋₆ alkylene group optionally having hydroxy group, R⁶ is (a) C₆₋₁₄ aryl group optionally having 1 or 2 substituent(s) selected from the group consisting of a halogen atom, optionally halogenated C₁₋₆ alkyl, nitro, C₁₋₆ alkoxy, C₁₋₃ alkylendioxy and C₆₋₁₀ aryl group, (b) C₆₋₁₀ aryloxy group, (c) 5 or 6-membered aromatic heterocyclic group containing, besides carbon atom, 1 or 2 heteroatom(s) selected from nitrogen atom, oxygen atom and sulfur atom (d) C₁₋₆ alkyl-carbonyl group, (e) carboxyl group, (f) C₁₋₆ alkoxy-carbonyl group, (g) amino group optionally having 1 or 2 substituent(s) selected from the group consisting of C₁₋₆ alkyl and C₁₋₆ alkyl-carbonyl, (h) 5 to 7-membered heterocyclic ring optionally having hydroxy, (i) C₇₋₁₆ aralkyloxy group, (j) C₆₋₁₀ aryl-carbonyl group or (k) C₁₋₆ alkyl-carbonyloxy group],
 (iv) a group represented by the formula



wherein Qa is a group represented by the formula -(CH₂)_s- (s is an integer of 1 to 3) or -(CH₂)_t-CH= (t is an integer of 0 to 2) and R⁷ is hydrogen atom or C₁₋₆ alkoxy-carbonyl group,
 (v) a group represented by the formula



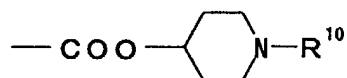
wherein R⁸ is (a) hydrogen atom, (b) C₁₋₆ alkyl group optionally having substituents selected from the group consisting of C₁₋₆

alkoxy-carbonyl, morpholino and mono- or di-C₁₋₆ alkylamino, (c) C₁₋₆ alkoxy-carbonyl group, (d) a group represented by the formula $-\text{CO}-\text{R}^d$ (R^d is C₆₋₁₀ aryl group optionally having halogen atom or 5 or 6-membered heterocyclic group containing, besides
 5 carbon atom, 1 or 2 heteroatom(s) selected from nitrogen atom, oxygen atom and sulfur atom), (e) a group represented by the formula $-\text{CO}-(\text{CH}_2)_{r^1}-\text{R}^e$ (r^1 is an integer of 1 to 3, R^e is C₁₋₆ alkoxy-carbonyl group or 5 or 6-membered heterocyclic group containing, besides carbon atom, 1 or 2 heteroatom(s) selected
 10 from nitrogen atom, oxygen atom and sulfur atom) or (f) a group represented by $-\text{CONH}-\text{R}^f$ (R^f is C₁₋₆ alkyl group or C₆₋₁₄ aryl group),

(vi) a group represented by the formula

$-\text{COOR}^9$ (R^9 is optionally halogenated C₁₋₆ alkyl group),

15 (vii) a group represented by the formula



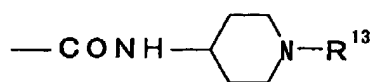
wherein R^{10} is hydrogen atom, C₁₋₆ alkoxy-carbonyl group, mono- or di-C₁₋₆ alkyl-carbamoyl group, optionally halogenated nicotinoyl group or optionally halogenated isonicotinoyl group,

20 (viii) a group represented by the formula

$-\text{CONR}^{11}-\text{R}^{12}$ (R^{11} is hydrogen atom or C₁₋₆ alkyl group, R^{12} is C₁₋₆ alkyl group optionally having substituents selected from the group consisting of (a) hydroxy, (b) amino, (c) a mono- or di-C₁₋₆ alkyl-amino, (d) C₁₋₆ alkyl-carbonyl, (e) C₁₋₆ alkoxy-

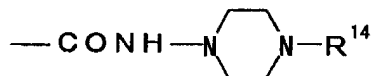
25 carbonyl, (f) C₁₋₆ alkyl-carbonyloxy, (g) sulfamoyl and (h) 5 to 7-membered heterocyclic group optionally substituted by oxo, and (i) C₆₋₁₄ aryl group),

(ix) a group represented by the formula



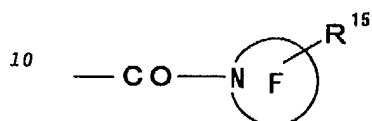
30 wherein R^{13} is (a) hydrogen atom, (b) C₁₋₆ alkyl group optionally having substituents selected from the group

- consisting of a hydroxy and C₁₋₆ alkoxy-carbonyl, (c) C₇₋₁₆ aralkyl group, (d) C₁₋₆ alkyl-carbonyl group optionally having substituents selected from the group consisting of a halogen atom and C₁₋₆ alkoxy-carbonyl or (e) C₁₋₆ alkyl-carbamoyl group
- 5 optionally having C₁₋₆ alkoxy-carbonyl,
- (x) a group represented by the formula



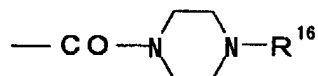
wherein R¹⁴ is C₁₋₆ alkyl group or C₇₋₁₆ aralkyl group,

- (xi) a group represented by the formula



wherein ring F is 5 to 7-membered non-aromatic heterocyclic group optionally fused with benzene ring and R¹⁵ is hydrogen atom, C₁₋₆ alkoxy-carbonylamino group or optionally halogenated C₁₋₆ alkyl-carbonylamino group,

- 15 (xii) a group represented by the formula



wherein R¹⁶ is (a) C₁₋₆ alkyl group optionally having substituents selected from the group consisting of a hydroxy and C₁₋₆ alkoxy-carbonyl, (b) a formyl group, (c) C₁₋₆ alkoxy-carbonyl group or (d) 5 or 6-membered heterocyclic ring-carbonyl group containing, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom,

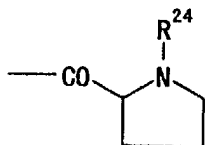
20

- (xiii) a group represented by the formula

- 25 $\text{---SO}_2\text{---R}^{17}$ (R¹⁷ is (i) C₁₋₆ alkyl group optionally having 5 or 6-membered heterocyclic group, (ii) C₂₋₆ alkenyl group or (iii) C₆₋₁₄ aryl group optionally having C₁₋₆ alkyl),
- (xiv) C₇₋₁₆ aralkyl group optionally having 1 to 3 halogen atom(s) or C₁₋₆ alkoxy group,

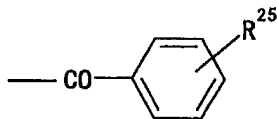
(xv) C₁₋₆ alkyl group substituted by 5 or 6-membered heterocyclic group containing, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom,

⁵ (xvi) a group represented by the formula



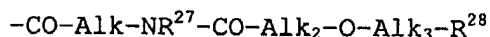
wherein R²⁴ is hydrogen atom or C₇₋₁₆ aralkyloxy-carbonyl group;

(xvii) a group represented by the formula



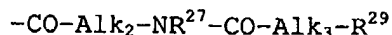
¹⁰ wherein R²⁵ is hydrogen atom, C₆₋₁₀ aryl group, C₇₋₁₆ aralkyloxy group, C₆₋₁₀ aryloxy group, halogen atom, C₆₋₁₀ aryl-carbonylamino group or C₆₋₁₀ aryl-carbamoyl group;

(xviii) a group represented by the formula



¹⁵ [Alk is C₁₋₆ alkylene group optionally having substituents; R²⁷ is hydrogen atom or C₁₋₆ alkyl group; Alk₂ and Alk₃ are the same or different and each is a bond or C₁₋₆ alkylene group optionally having substituents; R²⁸ is C₆₋₁₀ aryl group optionally having substituents or hydrogen atom];

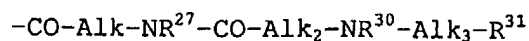
²⁰ (xix) a group represented by the formula



[Alk₂, Alk₃ and R²⁷ are as defined above; R²⁹ is (1) C₆₋₁₀ aryl group optionally having substituent or (2) 5 to 10-membered aromatic heterocyclic group optionally having substituent,

²⁵ which contains, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom];

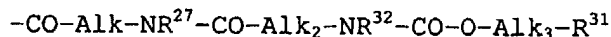
(xx) a group represented by the formula



[Alk, R^{27} , Alk_2 , Alk_3 are as defined above; R^{30} is hydrogen atom, C_{1-6} alkyl group or optionally halogenated C_{1-6} alkyl-carbonyl group; and R^{31} is C_{6-10} aryl group optionally having

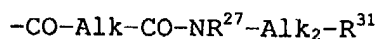
5 substituents];

(xxi) a group represented by the formula



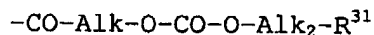
[Alk, R^{27} , Alk_2 , Alk_3 and R^{31} are as defined above; and R^{32} is the same as the aforementioned R^{27}];

10 (xxii) a group represented by the formula



[Alk, R^{27} , Alk_2 and R^{31} are as defined above]; or

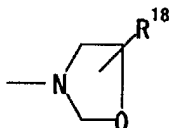
(xxiii) a group represented by the formula



15 [Alk, Alk_2 and R^{31} are as defined above];

R^4 is hydrogen atom or C_{1-6} alkyl group;

or R^3 and R^4 may form, together with the adjacent nitrogen atom, a group represented by the formula



20 wherein R^{18} is halogen atom, oxo group, optionally halogenated C_{1-6} alkyl group or optionally halogenated C_{1-6} alkoxy group.

8. The antagonist of claim 1, wherein R^1 is (i) C_{1-6} alkyl group optionally having 5 or 6-membered nitrogen-containing

25 heterocyclic group, (ii) C_{7-16} aralkyl group optionally having nitro, amino or C_{1-6} alkoxy-carbonyl or (iii) cyclohexyl group fused with benzene ring optionally having C_{1-6} alkoxy,

R^2 is (i) hydrogen atom, (ii) C_{1-6} alkyl group or (iii) C_{7-16} aralkyl group, or R^1 and R^2 may form, together with the

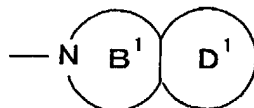
30 adjacent nitrogen atom, a nitrogen-containing heterocyclic group represented by

(i) the formula



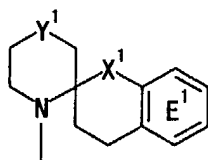
wherein ring A¹ is a 4 to 8-membered ring optionally substituted by hydroxy or oxo, V¹ is a group represented by the
 5 formula >O, >C-(W¹)W^{a1} or >N-W¹ (W¹ is (a) hydrogen atom, (b) C₆₋₁₄ aryl group optionally having 1 or 2 substituent(s) selected from the group consisting of halogen atom, optionally halogenated C₁₋₆ alkyl group and C₁₋₆ alkoxy group or (c) C₁₋₆ alkyl group optionally having 1 or 2 C₆₋₁₀ aryl group(s), W^{a1} is
 10 hydrogen atom or hydroxy group),

(ii) the formula



wherein ring B¹ is a monocyclic or bicyclic 5 to 10-membered ring optionally substituted by oxo group or 1 or 2 C₁₋₆ alkyl
 15 group(s), ring D¹ is a benzene ring optionally having 1 or 2 substituent(s) selected from the group consisting of C₁₋₆ alkyl group, C₁₋₆ alkoxy group and C₁₋₆ alkyl-carbonyl group or

(iii) the formula



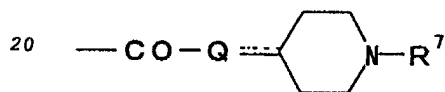
20 wherein ring E¹ is a benzene ring optionally having 1 or 2 substituent(s) selected from the group consisting of C₁₋₃ alkylenedioxy group, nitro group, C₁₋₆ alkoxy group, amino group, C₁₋₆ alkyl-carbonylamino group and C₁₋₆ alkoxy-carbonyl group

X¹ is -CH₂- or -CO-,

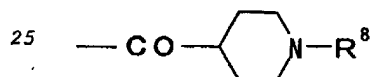
25 Y¹ is -CH₂- or -O-,

R³ is (i) hydrogen atom, (ii) a group represented by the

- formula $-\text{CO}-\text{R}^5$ (R^5 is (a) hydrogen atom, (b) carboxyl group, (c) C_{1-6} alkyl group, (d) C_{5-7} cycloalkyl group optionally having alkoxy, and which is fused with benzene ring or (e) 5 or 6-membered aromatic heterocyclic group containing, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom),
- (iii) a group represented by the formula $-\text{CO}-(\text{CH}_2)_{r^1}-\text{R}^6$ (r^1 is an integer of 1 to 3, R^6 is (a) C_{6-14} aryl group optionally having 1 or 2 substituent(s) selected from the group consisting of halogen atom, optionally halogenated C_{1-6} alkyl, nitro, C_{1-6} alkoxy and C_{1-3} alkylenedioxy, (b) C_{6-14} aryloxy group, (c) 5 or 6-membered aromatic heterocyclic group containing, besides carbon atom, 1 or 2 heteroatom(s) selected from nitrogen atom, oxygen atom and sulfur atom, (d) C_{1-6} alkyl-carbonyl group, (e) carboxyl group, (f) C_{1-6} alkoxy-carbonyl group, (g) amino group optionally having 1 or 2 substituent(s) selected from the group consisting of C_{1-6} alkyl and C_{1-6} alkyl-carbonyl or (h) 5 or 6-membered cyclic amino group optionally having hydroxy),
- (iv) a group represented by the formula



- (Q is a group represented by the formula $-(\text{CH}_2)_s-$ (s is an integer of 1 to 3) or $-(\text{CH}_2)_t-\text{CH}=\text{CH}_2$ (t is an integer of 0 to 2), R^7 is hydrogen atom or C_{1-6} alkoxy-carbonyl group),
- (v) a group represented by the formula



- (R^8 is (a) hydrogen atom, (b) C_{1-6} alkyl group optionally having substituents selected from the group consisting of C_{1-6} alkoxy-carbonyl, morpholino and mono- or di- C_{1-6} alkylamino, (c) C_{1-6} alkoxy-carbonyl group, (d) a group represented by the formula $-\text{CO}-\text{R}^d$ (R^d is C_{6-14} aryl group optionally having halogen atom or

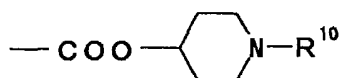
5 or 6-membered heterocyclic group containing, besides carbon atom, 1 or 2 heteroatom(s) selected from nitrogen atom, oxygen atom and sulfur atom),

(e) a group represented by the formula $-\text{CO}-(\text{CH}_2)_{r^1}-\text{R}^e$ (r^1 is an integer of 1 to 3, R^e is C_{1-6} alkoxy-carbonyl group or 5 or 6-membered heterocyclic group containing, besides carbon atom, 1 or 2 heteroatom(s) selected from nitrogen atom, oxygen atom and sulfur atom) or (f) a group represented by $-\text{CONH}-\text{R}^f$ (R^f is C_{1-6} alkyl group or C_{6-14} aryl group)),

(vi) a group represented by the formula

$-\text{COOR}^9$ (R^9 is optionally halogenated C_{1-6} alkyl group),

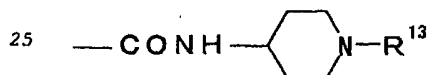
(vii) a group represented by the formula



wherein R^{10} is hydrogen atom, C_{1-6} alkoxy-carbonyl group, mono or di- C_{1-6} alkyl-carbamoyl group, optionally halogenated nicotinoyl group or optionally halogenated isonicotinoyl group,

(viii) a group represented by the formula $-\text{CONR}^{11}-\text{R}^{12}$ (R^{11} is hydrogen atom or C_{1-6} alkyl group, R^{12} is C_{1-6} alkyl optionally having substituents selected from the group consisting of (a) hydroxy, (b) amino, (c) a mono- or di- C_{1-6} alkyl-amino, (d) C_{1-6} alkyl-carbonyl, (e) C_{1-6} alkoxy-carbonyl, (f) C_{1-6} alkyl-carbonyloxy, (g) sulfamoyl and (f) 5 or 6-membered cyclic amine optionally substituted by oxo),

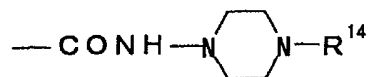
(ix) a group represented by the formula



wherein R^{13} is (a) a hydrogen atom, (b) C_{1-6} alkyl group optionally having substituents selected from the group consisting of a hydroxy and C_{1-6} alkoxy-carbonyl, (c) C_{7-16} aralkyl group, (d) C_{1-6} alkyl-carbonyl group optionally having substituents selected from the group consisting of a halogen and C_{1-6} alkoxy-carbonyl or (e) C_{1-6} alkyl-carbamoyl group

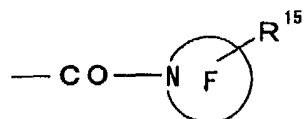
optionally having C₁₋₆ alkoxy-carbonyl,

(x) a group represented by the formula



wherein R¹⁴ is C₁₋₆ alkyl group or C₇₋₁₆ aralkyl group,

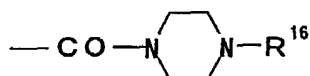
5 (xi) a group represented by the formula



wherein ring F is 5 to 7-membered cyclic amino group optionally fused with benzene ring, R¹⁵ is hydrogen atom, C₁₋₆ alkoxy-carbonylamino group or optionally halogenated C₁₋₆ alkyl-

10 carbonylamino group,

(xii) a group represented by the formula



wherein R¹⁶ is (a) C₁₋₆ alkyl group optionally having

substituents selected from the group consisting of a hydroxy

15 and C₁₋₆ alkoxy-carbonyl, (b) a formyl group, (c) C₁₋₆ alkoxy-

carbonyl group or (d) a 5 or 6-membered heterocyclic ring-

carbonyl group containing, besides carbon atom, 1 to 3

heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom,

20 (xiii) a group represented by the formula

---SO₂---R¹⁷ (R¹⁷ is (i) C₁₋₆ alkyl group optionally having 5 or 6-membered nitrogen-containing ring group, (ii) C₂₋₆ alkenyl group or (iii) C₆₋₁₄ aryl group optionally having C₁₋₆ alkyl),

(xiv) C₇₋₁₆ aralkyl group optionally having 1 to 3 halogen

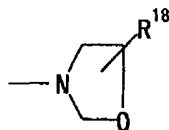
25 atom(s), or

(xv) C₁₋₆ alkyl group substituted by 5 or 6-membered

heterocyclic group containing, besides carbon atom, 1 to 3

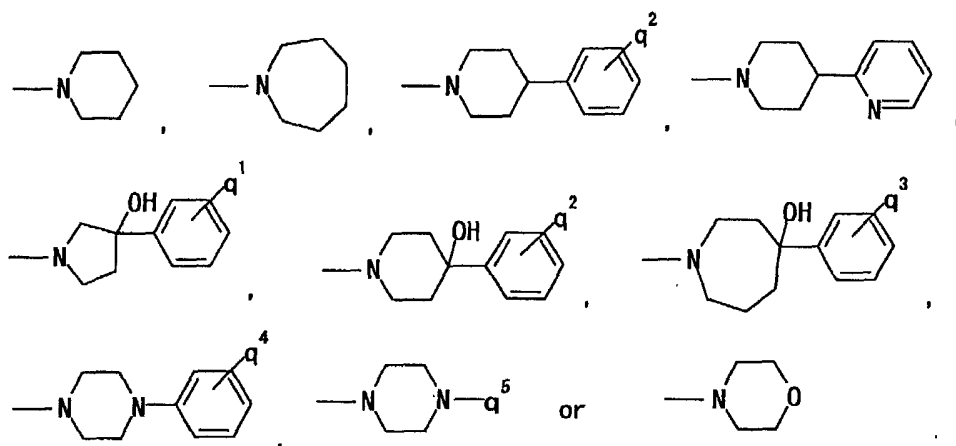
heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom,

R^4 is hydrogen atom or C_{1-6} alkyl group,
or R^3 and R^4 may form, together with the adjacent nitrogen atom,
a group of the formula



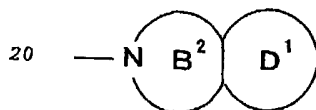
5 wherein R^{18} is halogen atom, oxo group, optionally halogenated
 C_{1-6} alkyl group or optionally halogenated C_{1-6} alkoxy group.

9. The antagonist of claim 1, wherein R^1 and R^2 form, together
with the adjacent nitrogen atom, a nitrogen-containing
10 heterocyclic group represented by
(i) the formula

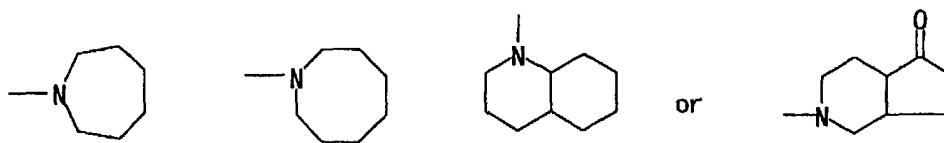


wherein q^1 is hydrogen atom or halogen atom, q^2 is hydrogen
atom, halogen atom, optionally halogenated C_{1-6} alkyl group or
15 C_{1-6} alkoxy group, q^3 is hydrogen atom or halogen atom, q^4 is
hydrogen atom, halogen atom or C_{1-6} alkoxy group, q^5 is hydrogen
atom or C_{1-6} alkyl group optionally having 1 or 2 C_{6-10} aryl
group(s),

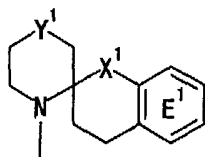
(ii) the formula



wherein ring B² is represented by the formula

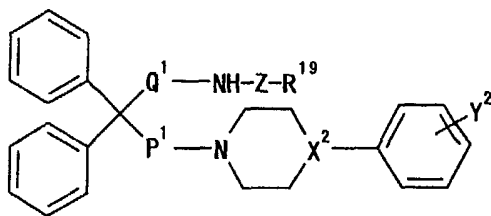


wherein ring D¹ is benzene ring optionally having 1 or 2
substituent(s) selected from the group consisting of C₁₋₆ alkyl
5 group, C₁₋₆ alkoxy group and C₁₋₆ alkyl-carbonyl group or
(iii) the formula



wherein ring E¹ is benzene ring optionally having 1 or 2
substituent(s) selected from the group consisting of C₁₋₃
10 alkylendioxy group, nitro group, C₁₋₆ alkoxy group, amino group,
C₁₋₆ alkyl-carbonylamino group and C₁₋₆ alkoxy-carbonyl group, X¹
is -CH₂- or -CO-, and Y¹ is -CH₂- or -O-.

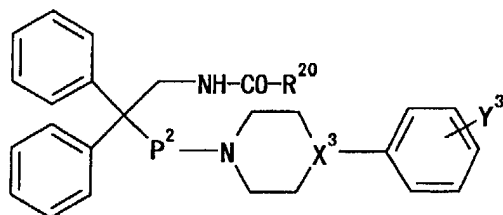
10. The antagonist of claim 1, wherein the compound is
15 represented by the formula



wherein R¹⁹ is (i) hydrogen atom, (ii) carboxyl, (iii) C₁₋₆
alkoxy-carbonyl group, (iv) C₁₋₆ alkyl group optionally having
substituents selected from the group consisting of carboxyl,
20 C₁₋₆ alkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₁₋₆ alkoxy-
carbonylamino and C₇₋₁₆ aralkyloxy-carbonylamino, (v) a mono- or
di-C₁₋₆ alkylamino group or (iv) C₆₋₁₄ aryloxy group; P¹ is C₁₋₃
alkylene group; Q¹ is C₁₋₃ alkylene group; X² is CH, C-OH or N;

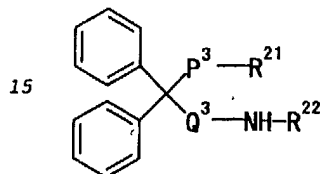
Y^2 is hydrogen atom, halogen atom, optionally halogenated C_{1-6} alkyl group or C_{1-6} alkoxy group; and Z is CO, SO or SO_2 .

11. The antagonist of claim 1, wherein the compound is
5 represented by the formula

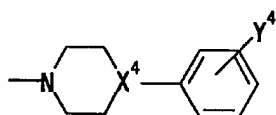


wherein R^{20} is (i) hydrogen atom or (ii) C_{1-6} alkyl group optionally having substituents selected from the group consisting of C_{1-6} alkoxy-carbonylamino and C_{7-16} aralkyloxy-carbonylamino; P^2 is C_{1-3} alkylene group; X^3 is CH, C-OH or N; Y^3
10 is hydrogen atom, halogen atom or C_{1-6} alkoxy group.

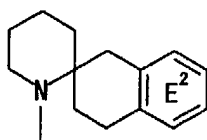
12. The antagonist of claim 1, wherein the compound is represented by the formula



wherein R^{21} is a nitrogen-containing heterocyclic group represented by (i) the formula



wherein X^4 is CH or N, Y^4 is hydrogen atom, halogen atom or C_{1-6}
20 alkoxy group or (ii) the formula



wherein ring E² is benzene ring optionally having 1 to 3 C₁₋₆ alkoxy,

R²² is (i) hydrogen atom, (ii) C₇₋₁₆ aralkyl group, (iii) formyl group, (iv) C₁₋₆ alkyl-carbonyl group, (v) C₆₋₁₄ aryl-carbonyl group optionally having C₁₋₆ alkyl or (vi) C₆₋₁₄ aryl-sulfonyl group optionally having 1 to 4 C₁₋₆ alkyl; P³ is C₁₋₃ alkylene group; and Q³ is C₁₋₃ alkylene group.

13. The antagonist of claim 1, wherein the compound is

10 1-(5-amino-4,4-diphenylpentyl)-4-phenylpiperidine or a salt thereof,

3,4-dihydro-6-methoxy-1'-(5-amino-4,4-diphenylpentyl)-spiro[naphthalene-2(1H),2'-piperidine] or a salt thereof,

1-[5-amino-4-(4-methoxyphenyl)-4-phenylpentyl]-4-phenylpiperidine or a salt thereof,

1-[5-amino-4,4-bis(4-chlorophenyl)pentyl]-4-(4-fluorophenyl)-piperazine or a salt thereof,

3,4-dihydro-6-methoxy-1'-(6-amino-4,4-diphenylhexyl)-spiro[naphthalene-2(1H),2'-piperidine] or a salt thereof,

20 3,4-dihydro-6,7-dimethoxy-1'-(7-amino-4,4-diphenylheptyl)-spiro[naphthalene-2(1H),2'-piperidine] or a salt thereof,

4,4-diphenyl-5-formylamino-1-(4-phenylpiperidino)pentane or a salt thereof,

1-[4-(4-fluorophenyl)piperazin-1-yl]-5-formylamino-4,4-diphenylpentane or a salt thereof,

4,4-diphenyl-1-(4-phenylpiperazin-1-yl)-5-(tosylamino)pentane or a salt thereof,

4,4-diphenyl-1-[4-(2-methoxyphenyl)piperazin-1-yl]-5-(tosylamino)pentane or a salt thereof,

30 4-(4-chlorophenyl)-5-formylamino-4-phenyl-1-(4-phenylpiperidino)pentane or a salt thereof,

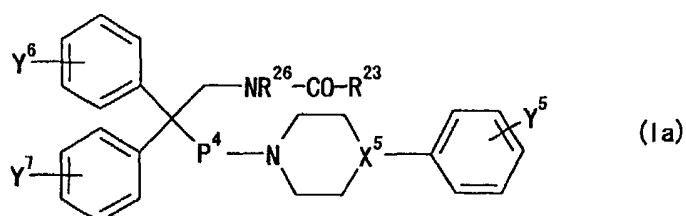
4-(4-chlorophenyl)-5-formylamino-4-phenyl-1-(4-phenylpiperazin-1-yl)pentane or a salt thereof,

- 4-(4-chlorophenyl)-1-[4-(4-fluorophenyl)piperazin-1-yl]-5-formylamino-4-phenylpentane or a salt thereof,
- 4-(4-chlorophenyl)-1-[4-(diphenylmethyl)piperazin-1-yl]-5-formylamino-4-phenylpentane or a salt thereof,
- 5 5-formylamino-4-(4-methoxyphenyl)-4-phenyl-1-(4-phenylpiperidino)pentane or a salt thereof,
- 4,4-bis(4-chlorophenyl)-1-[4-(4-fluorophenyl)piperazin-1-yl]-5-(formylamino)pentane or a salt thereof,
- 1-[4-(4-fluorophenyl)piperazin-1-yl]-6-formylamino-5,5-
 10 diphenylhexane or a salt thereof,
- 1-[4-(4-fluorophenyl)piperazin-1-yl]-6-formylamino-4,4-diphenylhexane or a salt thereof,
- 4,4-diphenyl-1-(4-phenylpiperidino)-6-(tosylamino)hexane or a salt thereof,
- 15 5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 5-[4-(4-fluorophenyl)piperazin-1-yl]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 1-formylamino-5-(4-hydroxy-4-phenylpiperidino)-2,2-
 20 diphenylpentane or a salt thereof,
- 5-[4-(4-trifluoromethylphenyl)-4-hydroxypiperidino]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 5-[4-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxypiperidino]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 25 5-[4-(3,5-dichlorophenyl)-4-hydroxypiperidino]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 5-[4-(4-chlorophenyl)-1,2,3,6-tetrahydropyridin-1-yl]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 1-formylamino-2,2-diphenyl-5-(4-phenylpiperidino)pentane or a
 30 salt thereof,
- 5-[4-(4-chlorophenyl)piperidino]-1-formylamino-2,2-diphenylpentane or a salt thereof,
- 7-[4-(4-chlorophenyl)-4-hydroxypiperidino]-1-formylamino-4,4-

- diphenylheptane or a salt thereof,
 5-[4-(4-fluorophenyl)-4-hydroxypiperidino]-1-formylamino-2,2-diphenylpentane or a salt thereof,
 1-formylamino-5-[4-hydroxy-4-(4-methoxyphenyl)piperidino]-2,2-diphenylpentane or a salt thereof,
 1-formylamino-5-[4-hydroxy-4-(2-pyridyl)piperidino]-2,2-diphenylpentane or a salt thereof,
 1-acetyl-amino-5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentane or a salt thereof,
 1-acetoacetyl-amino-5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentane or a salt thereof,
 ethyl N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]succinamate or a salt thereof,
 N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]succinamic acid or a salt thereof,
 1-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]-3-ethylurea or a salt thereof,
 N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]methanesulfonamide or a salt thereof,
 phenyl N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]carbamate or a salt thereof,
 1-acetyl-amino-5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2-phenyl-2-(2-pyridyl)pentane or a salt thereof,
 ethyl N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]oxamate or a salt thereof,
 ethyl N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]malonamate or a salt thereof,
 ethyl N-[5-[4-(4-chlorophenyl)-4-hydroxypiperidino]-2,2-diphenylpentyl]glutaramate or a salt thereof,
 benzyl 2-((2,2-diphenyl-5-(4-phenylpiperidino)pentyl)amino)-2-oxoethylcarbamate or a salt thereof,
 tert-butyl 2-((2,2-diphenyl-5-(4-phenylpiperidino)pentyl)amino)-2-oxoethylcarbamate or a salt thereof,

- 4,4-diphenyl-7-(4-phenylpiperidino)heptylamine or a salt thereof,
- N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-4-methylbenzenesulfonamide or a salt thereof,
- 5 N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)acetamide or a salt thereof,
- N-benzyl-N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)amine or a salt thereof,
- N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-N-(3-
10 methoxybenzyl)amine or a salt thereof,
- N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-N-(2-methoxybenzyl)amine or a salt thereof,
- N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-N-(2-fluorobenzyl)amine or a salt thereof,
- 15 N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-2-thiophenecarboxamide or a salt thereof,
- N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-2-phenylacetamide or a salt thereof,
- N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-N-(2-
20 thienylmethyl)amine or a salt thereof, or
- N-benzyl-N-(4,4-diphenyl-7-(4-phenylpiperidino)heptyl)-N-methylamine or a salt thereof.
14. The antagonist of claim 1, which is an agent for the
25 prophylaxis or therapy of a disease caused by melanin-concentrating hormone.
15. The antagonist of claim 1, which is an agent for the prophylaxis or therapy of obesity.
- 30 16. The antagonist of claim 1, which is an agent for suppressing food intake.

17. A compound represented by the formula



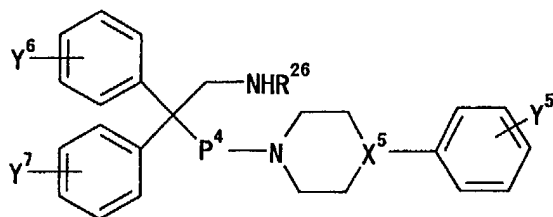
wherein R^{23} is C_{1-6} alkyl group having C_{7-16} aralkyloxy-carbonylamino optionally having substituents selected from the group consisting of halogen atom, C_{1-6} alkoxy and C_{1-6} alkyl; P^4 is C_{1-3} alkylene group; X^5 is CH, C-OH or N; Y^5 is hydrogen atom, halogen atom or C_{1-6} alkoxy group; R^{26} is hydrogen atom or C_{1-6} alkyl group; Y^6 and Y^7 are the same or different and each is hydrogen atom, halogen atom, optionally halogenated C_{1-6} alkyl group or optionally halogenated C_{1-6} alkoxy group, or a salt thereof or a prodrug thereof.

18. The compound of claim 17, wherein R^{26} is hydrogen atom.

19. Benzyl 2-((2,2-diphenyl-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethylcarbamate or a salt thereof, 4-chlorobenzyl 2-((2,2-diphenyl-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethylcarbamate or a salt thereof, 3-chlorobenzyl 2-((2,2-diphenyl-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethylcarbamate or a salt thereof, benzyl 2-(N-(2,2-diphenyl-5-(4-phenylpiperidino)pentyl)-N-methylamino)-2-oxoethylcarbamate or a salt thereof, benzyl 2-((5-(4-(3-fluorophenyl)piperidino)-2,2-diphenylpentyl)amino)-2-oxoethylcarbamate or a salt thereof, benzyl 2-((5-(4-(2-fluorophenyl)piperidino)-2,2-diphenylpentyl)amino)-2-oxoethylcarbamate or a salt thereof, benzyl 2-((5-(4-(2-methoxyphenyl)piperidino)-2,2-diphenylpentyl)amino)-2-oxoethylcarbamate or a salt thereof, or 3-chlorobenzyl 2-((2,2-bis(4-chlorophenyl)-5-(4-

phenylpiperidino)pentyl)amino)-2-oxoethylcarbamate or a salt thereof.

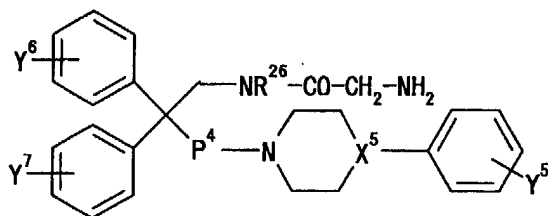
20. A production method of a compound of claim 17, which
5 comprises reacting a compound represented by the formula



wherein each symbol is as defined in claim 17 or a salt thereof
with a reactive derivative of an organic acid of the formula
 $R^{23}-COOH$

- 10 wherein R^{23} is as defined in claim 17.

21. A production method of a compound of claim 17, which
comprises reacting a compound represented by the formula



- 15 wherein each symbol is as defined in claim 17, or a salt
thereof with a reactive derivative of the formula

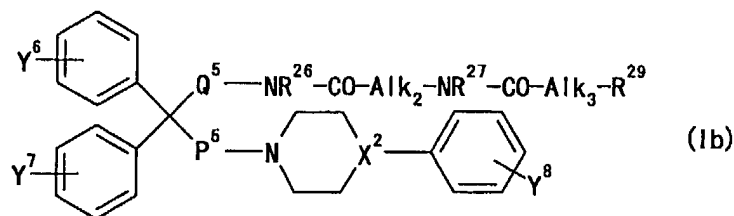


wherein R^{32} is C_{7-16} aralkyloxy-carbonyl group, and X is a
leaving group.

20

22. A pharmaceutical composition containing a compound of claim
17.

23. A compound represented by the formula



wherein R^{26} and R^{27} are the same or different and each is hydrogen atom or C_{1-6} alkyl group; Alk_2 and Alk_3 are the same or different and each is a bond or C_{1-6} alkylene group optionally
 5 having substituents; R^{29} is (1) C_{6-10} aryl group optionally having substituents or (2) 5 to 10-membered aromatic heterocyclic group optionally having substituents, which contains, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and
 10 sulfur atom; X^2 is CH, C-OH or N; P^5 and Q^5 are the same or different and each is C_{1-6} alkylene group; Y^6 , Y^7 and Y^8 are the same or different and each is hydrogen atom, halogen atom, optionally halogenated C_{1-6} alkyl group or optionally halogenated C_{1-6} alkoxy group, or a salt thereof or a prodrug
 15 thereof.

24. The compound of claim 23, wherein Alk_2 and Alk_3 are the same or different and each is a bond, or C_{1-6} alkylene group optionally having substituents selected from the group
 20 consisting of halogen atom, hydroxy, amino and C_{6-10} aryl; R^{29} is (1) C_{6-10} aryl group or (2) 5 to 10-membered aromatic heterocyclic group containing, besides carbon atom, 1 to 3 heteroatom(s) selected from the group consisting of nitrogen atom, oxygen atom and sulfur atom, which optionally has
 25 substituents selected from the group consisting of nitro, halogen atom, C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy and C_{6-10} aryl.

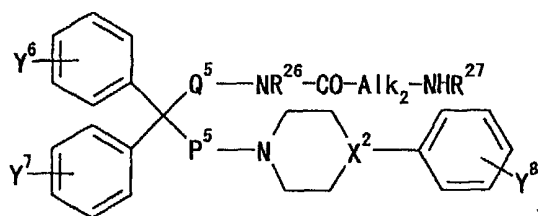
25. The compound of claim 23 or 24, wherein R^{29} is indol-2-yl optionally having substituents.

26. The compound of claim 23 or 24, wherein R²⁹ is indol-2-yl optionally having substituents selected from halogen atom, C₁₋₆ alkyl, C₁₋₆ alkoxy and hydroxy.
- 5 27. N-(2-((2,2-Diphenyl-5-(4-phenylpiperidino)pentyl)amino)-2-oxoethyl)indole-2-carboxamide or a salt thereof,
 N-(2-((2,2-diphenyl-5-(4-phenylpiperidino)pentyl)amino)-2-oxoethyl)-1-methylindole-2-carboxamide or a salt thereof,
 5-chloro-N-(2-((2,2-diphenyl-5-(4-phenylpiperidino)-
 10 pentyl)amino)-2-oxoethyl)indole-2-carboxamide or a salt thereof,
 N-(2-((2,2-bis(4-chlorophenyl)-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethyl)indole-2-carboxamide or a salt thereof,
 N-(2-((2,2-bis(4-chlorophenyl)-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethyl)-5-chloroindole-2-carboxamide or a
 15 salt thereof,
 N-(2-((2,2-bis(4-chlorophenyl)-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethyl)-1-methylindole-2-carboxamide or a salt thereof,
 N-(2-((2,2-bis(4-chlorophenyl)-5-(4-phenylpiperidino)-
 20 pentyl)amino)-2-oxoethyl)-5-fluoroindole-2-carboxamide or a salt thereof,
 N-(2-((2,2-bis(4-chlorophenyl)-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethyl)-5-methoxyindole-2-carboxamide or a salt thereof,
 25 N-(2-((2,2-bis(4-chlorophenyl)-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethyl)-5-hydroxyindole-2-carboxamide or a salt thereof,
 N-(2-((5-(4-(2-fluorophenyl)piperidino)-2,2-diphenylpentyl)-amino)-2-oxoethyl)indole-2-carboxamide or a salt thereof,
 30 N-(2-((5-(4-(2-fluorophenyl)piperidino)-2,2-diphenylpentyl)-amino)-2-oxoethyl)-1-methylindole-2-carboxamide or a salt thereof,
 5-chloro-N-(2-((5-(4-(2-fluorophenyl)piperidino)-2,2-

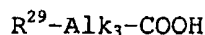
- diphenylpentyl) amino) -2-oxoethyl) -1-methylindole-2-carboxamide
or a salt thereof,
- 5-chloro-N-(2-((5-(4-(2-fluorophenyl)piperidino)-2,2-
diphenylpentyl) amino) -2-oxoethyl) indole-2-carboxamide or a salt
5 thereof,
- N-(2-((2,2-bis(4-chlorophenyl)-5-(4-(2-fluorophenyl)-
piperidino)pentyl) amino) -2-oxoethyl) -5-fluoroindole-2-
carboxamide or a salt thereof,
- N-(2-((2,2-bis(4-chlorophenyl)-5-(4-(2-fluorophenyl)-
10 piperidino)pentyl) amino) -2-oxoethyl) -5-methoxyindole-2-
carboxamide or a salt thereof,
- N-(2-((2,2-bis(4-chlorophenyl)-5-(4-(2-fluorophenyl)-
piperidino)pentyl) amino) -2-oxoethyl) indole-2-carboxamide or a
salt thereof,
- 15 N-(2-((2,2-bis(4-fluorophenyl)-5-(4-phenylpiperidino)-
pentyl) amino) -2-oxoethyl) indole-2-carboxamide or a salt thereof,
- N-(2-((2,2-bis(4-fluorophenyl)-5-(4-phenylpiperidino)-
pentyl) amino) -2-oxoethyl) -5-chloroindole-2-carboxamide or a
salt thereof,
- 20 N-(2-((2,2-bis(4-fluorophenyl)-5-(4-(2-methoxyphenyl)-
piperidino)pentyl) amino) -2-oxoethyl) indole-2-carboxamide or a
salt thereof,
- N-(2-((2,2-bis(4-fluorophenyl)-5-(4-(2-methoxyphenyl)-
piperidino)pentyl) amino) -2-oxoethyl) -5-chloroindole-2-
25 carboxamide or a salt thereof,
- N-(2-((2,2-bis(4-fluorophenyl)-5-(4-(2-fluorophenyl)-
piperidino)pentyl) amino) -2-oxoethyl) indole-2-carboxamide or a
salt thereof, or
- N-(2-((2,2-bis(4-fluorophenyl)-5-(4-(2-fluorophenyl)-
30 piperidino)pentyl) amino) -2-oxoethyl) -5-chloroindole-2-
carboxamide or a salt thereof.

28. A production method of a compound of claim 23, which

comprises reacting a compound represented by the formula



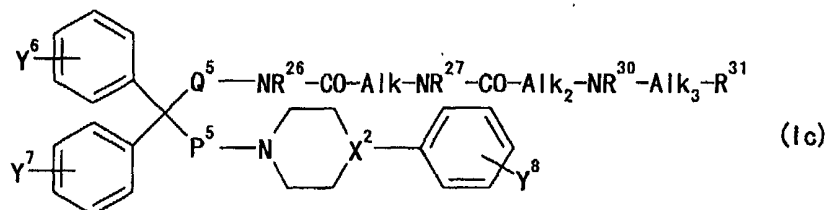
wherein each symbol is as defined in claim 23, or a salt thereof with a reactive derivative of an organic acid of the formula



wherein each symbol is as defined in claim 23.

29. A pharmaceutical composition containing a compound of claim 23.

30. A compound represented by the formula

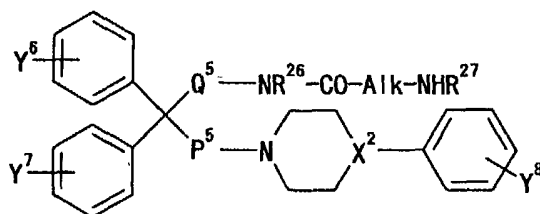


wherein R^{26} and R^{27} are the same or different and each is hydrogen atom or C_{1-6} alkyl group; R^{30} is hydrogen atom, C_{1-6} alkyl group or optionally halogenated C_{1-6} alkyl-carbonyl group; Alk is C_{1-6} alkylene group optionally having substituents; Alk_2 and Alk_3 are the same or different and each is a bond or C_{1-6} alkylene group optionally having substituents; R^{31} is C_{6-10} aryl group optionally having substituents; X^2 is CH, C-OH or N; P^5 and Q^5 are the same or different and each is C_{1-6} alkylene group; Y^6 , Y^7 and Y^8 are the same or different and each is hydrogen atom, halogen atom, optionally halogenated C_{1-6} alkyl group or optionally halogenated C_{1-6} alkoxy group, or a salt thereof or a prodrug thereof.

31. The compound of claim 30, wherein Alk is C₁₋₆ alkylene group optionally having substituents selected from the group consisting of halogen atom, hydroxy, amino and C₆₋₁₀ aryl; Alk₂ and Alk₃ are the same or different and each is a bond or C₁₋₆ alkylene group optionally having substituents selected from the group consisting of halogen atom, hydroxy, amino and C₆₋₁₀ aryl; R³¹ is C₆₋₁₀ aryl group optionally having substituents selected from the group consisting of halogen atom, C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy and C₆₋₁₀ aryl.

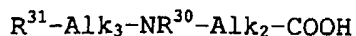
32. N-(2-((2-((2,2-Diphenyl-5-(4-phenylpiperidino)pentyl)-amino)-2-oxoethyl)amino)-2-oxoethyl)-2,2,2-trifluoro-N-phenylacetamide or a salt thereof,
2-anilino-N-(2-((2,2-diphenyl-5-(4-phenylpiperidino)-pentyl)amino)-2-oxoethyl)acetamide or a salt thereof, or 2-(((benzylamino)carbonyl)amino)-N-(2,2-diphenyl-5-(4-phenylpiperidino)pentyl)acetamide or a salt thereof.

33. A production method of a compound of claim 30, which comprises reacting a compound represented by the formula



wherein each symbol is as defined in claim 30, or a salt thereof, with,

(1) when Alk₂ is C₁₋₆ alkylene group optionally having substituents, a reactive derivative of an organic acid compound of the formula



wherein each symbol is as defined in claim 30,

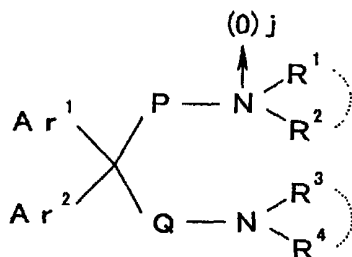
(2) when Alk_2 is a bond, a reactive derivative of the formula $\text{R}^{31}\text{-Alk}_3\text{-NR}^{30}\text{-CO-X}$ or $\text{R}^{31}\text{-Alk}_3\text{-NCO}$

wherein X is leaving group, and other symbols are as defined in claim 30.

5

34. A pharmaceutical composition containing a compound of claim 30.

35. A method for antagonizing melanin-concentrating hormone,
10 comprising administering, to a mammal, an effective amount of a compound of the formula



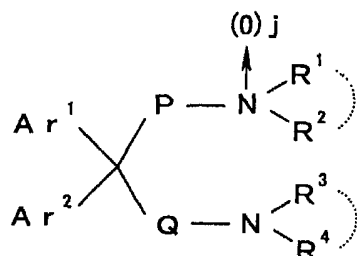
wherein Ar^1 and Ar^2 are each an aromatic group optionally having substituents,

15 P and Q are each a divalent aliphatic hydrocarbon group which optionally contains ether oxygen or ether sulfur in a carbon chain and which optionally has substituents,

R^1 and R^3 are each (i) hydrogen atom, (ii) acyl group or (iii) a hydrocarbon group optionally having substituents,

20 R^2 and R^4 are each (i) hydrogen atom, (ii) an alkyl group optionally having substituents or (iii) an alkylcarbonyl group optionally having substituents, R^1 and R^2 or R^3 and R^4 optionally form, together with the adjacent nitrogen atom, a monocyclic or fused nitrogen-containing heterocyclic group
25 optionally having substituents, and j is 0 or 1, or a salt thereof or a prodrug thereof.

36. Use of a compound of the formula



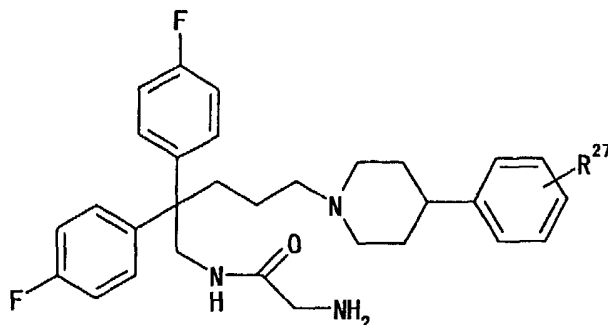
wherein Ar^1 and Ar^2 are each an aromatic group optionally having substituents,

P and Q are each a divalent aliphatic hydrocarbon group which optionally contains ether oxygen or ether sulfur in a carbon chain and which optionally has substituents,

R^1 and R^3 are each (i) hydrogen atom, (ii) acyl group or (iii) hydrocarbon group optionally having substituents,

R^2 and R^4 are each (i) hydrogen atom, (ii) an alkyl group optionally having substituents or (iii) an alkylcarbonyl group optionally having substituents, R^1 and R^2 or R^3 and R^4 optionally form, together with the adjacent nitrogen atom, a monocyclic or fused nitrogen-containing heterocyclic group optionally having substituents, and j is 0 or 1, or a salt thereof or a prodrug thereof, for production of a melanin-concentrating hormone antagonist.

37. A compound represented by the formula



wherein R^{27} is hydrogen atom, halogen atom, optionally halogenated C_{1-6} alkyl group or optionally halogenated C_{1-6} alkoxy group, or a salt thereof.